=> d his

L13

```
(FILE 'HOME' ENTERED AT 10:12:54 ON 18 MAR 2005)
     FILE 'REGISTRY' ENTERED AT 10:13:08 ON 18 MAR 2005
              1 S SITOSTANOL/CN
L1
                SCREEN 966 AND 1006 AND 1051
L2
                SCREEN 1821 OR 1822 OR 1823 OR 1824
L3
                STRUCTURE UPLOADED
L4
                QUE L4 AND L2 AND L3
L5
              0 S L5 FUL
L6
                SCREEN 966 AND 1006 AND 1051
L7
                SCREEN 1821 OR 1822 OR 1823 OR 1824
rs
                STRUCTURE UPLOADED
L9
                QUE L9 AND L7 AND L8
L10
              0 S L10 FUL
L11
     FILE 'CAPLUS' ENTERED AT 10:21:47 ON 18 MAR 2005
L12
            906 S L1 OR SITOSTANOL?/IA
L13
          42220 S (FATTY(3W)ESTER#)/IA
         152834 S CHOLESTEROL#/IA
L14 ·
             52 S L12 AND L13 AND L14
L15
             77 S L12 AND L13
L16
             19 S L12(3A)L13
L17
             16 S L17 AND L14
L18
                SEL L18 15 RN
     FILE 'REGISTRY' ENTERED AT 10:26:13 ON 18 MAR 2005
L19
              4 S E1-4
     FILE 'CAPLUS' ENTERED AT 10:27:15 ON 18 MAR 2005
                SEL L18 14 RN
     FILE 'REGISTRY' ENTERED AT 10:27:28 ON 18 MAR 2005
L20
            10 S E5-14
     FILE 'USPATFULL' ENTERED AT 10:28:04 ON 18 MAR 2005
             43 S SITOSTANOL (4W) (FATTY (3W) ESTER#)
L21
          40860 S CHOLESTEROL
L22
L23
             43 S L21 AND L22
        2532049 S PY>1991
L24
L25
              0 S L23 NOT L24
=> d his; d l11 tot ibib abs hitstr
     (FILE 'HOME' ENTERED AT 11:11:10 ON 18 MAR 2005)
     FILE 'REGISTRY' ENTERED AT 11:13:56 ON 18 MAR 2005
                STRUCTURE UPLOADED
L1
L2
                QUE L1
          10904 S L2 FUL
L3
                STRUCTURE UPLOADED
L4
                QUE L4
L5
           3629 S L5 FUL
L6
     FILE 'CAPLUS' ENTERED AT 11:16:19 ON 18 MAR 2005
           1393 S L6/P
L7
             44 S L6/THU
L8
         124038 S ESTERIF?/IA
L9
         683181 S CATALYST/IA
L10
            16 S L7 AND L9 AND L10
L11
           1943 S (FOOD(2W)GRADE?)/IA
L12
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4 S L7 AND L9 AND L10 AND L12

L14 12 S L11 NOT L13

FILE 'REGISTRY' ENTERED AT 11:24:54 ON 18 MAR 2005 L15 1 S SODIUM ETHYLATE/CN

FILE 'CAPLUS' ENTERED AT 11:25:43 ON 18 MAR 2005

L16 2492 S (SODIUM ETHYLATE)/IA OR L15

L17 2 S L7 AND L16 L18 0 S L16 AND L11

L11 ANSWER 1 OF 16 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:105227 CAPLUS

DOCUMENT NUMBER: 140:303085

TITLE: An Effective Use of Benzoic Anhydride and Its

Derivatives for the Synthesis of Carboxylic Esters and Lactones: A Powerful and Convenient Mixed Anhydride

Method Promoted by Basic Catalysts

AUTHOR(S): Shiina, Isamu; Kubota, Mari; Oshiumi, Hiromi;

Hashizume, Minako

CORPORATE SOURCE: Department of Applied Chemistry, Tokyo University of

Science, Tokyo, 162-8601, Japan

SOURCE: Journal of Organic Chemistry (2004), 69(6), 1822-1830

CODEN: JOCEAH; ISSN: 0022-3263

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

Various carboxylic esters are obtained at room temp. in excellent yields with high chemoselectivities from nearly equimolar amts. of carboxylic acids and alcs. using 2-methyl-6-nitrobenzoic anhydride with triethylamine by the promotion of a basic catalyst such as 4-(dimethylamino)pyridine. A variety of lactones are also prepd. in high yields at room temp. from the corresponding .omega.-hydroxycarboxylic acids with use of 2-methyl-6-nitrobenzoic anhydride in the presence of 4-(dimethylamino)pyridine. A similar reaction occurs with triethylamine when using a catalytic amt. of 4-(dimethylamino)pyridine 1-oxide as an effective promoter for the intramol. condensation reaction. These methods are successfully applied to the synthesis of erythro-aleuritic acid lactone and an eight-membered-ring lactone moiety of octalactin A and oxalactin B. The efficiency of the cyclizations is compared to those of other reported lactonizations.

IT 14914-98-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of carboxylic acid esters and lactones from alcs. and acids in
presence of benzoic acid anhydride derivs. and application of mixed
anhydride method promoted by basic catalysts)

RN 14914-98-8 CAPLUS

CN Cholestan-3-ol, benzenepropanoate, (3.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

REFERENCE COUNT: 79 THERE ARE 79 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 2 OF 16 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:75177 CAPLUS

DOCUMENT NUMBER: 140:303079

TITLE: An effective method for the synthesis of carboxylic

esters and lactones using substituted benzoic

anhydrides with Lewis acid catalysts

AUTHOR(S): Shiina, Isamu

CORPORATE SOURCE: Faculty of Science, Department of Applied Chemistry,

Tokyo University of Science, Kagurazaka, Shinjuku-ku,

Tokyo, 162-8601, Japan

SOURCE: Tetrahedron (2004), 60(7), 1587-1599

CODEN: TETRAB; ISSN: 0040-4020

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal LANGUAGE: English

An efficient mixed-anhydride method for the synthesis of carboxylic esters AB and lactones using benzoic anhydride having electron withdrawing substituent(s) is developed by the promotion of Lewis acid catalysts. the presence of a catalytic amt. of TiCl2(ClO4)2, various carboxylic esters are prepd. in high yields through the formation of the corresponding mixed-anhydrides from 3,5-bis(trifluoromethyl)benzoic anhydride and carboxylic acids. The combined catalyst consisting of TiCl2(ClO4)2 together with chlorotrimethylsilane functions as an effective catalyst for the synthesis of carboxylic esters from free carboxylic acids and alcs. with 4-(trifluoromethyl)benzoic anhydride. Various macrolactones are prepd. from the free .omega.-hydroxycarboxylic acids by the combined use of 4-(trifluoromethyl)benzoic anhydride and titanium(IV) catalysts together with chlorotrimethylsilane under mild reaction conditions. The lactonization of trimethylsilyl .omega.-(trimethylsiloxy)carboxylates using 4-(trifluoromethyl)benzoic anhydride is also promoted at room temp. in the presence of a catalytic amt. of TiCl2(ClO4)2. An 8-membered ring lactone, a synthetic intermediate of cephalosporolide D, is successfully synthesized according to this mixed-anhydride method using 4-(trifluoromethyl)benzoic anhydride by the promotion of a catalytic amt. of Hf(OTf)4.

IT 14914-98-8P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of carboxylic esters and lactones using substituted benzoic anhydrides with Lewis acid catalysts)

RN 14914-98-8 CAPLUS

CN Cholestan-3-ol, benzenepropanoate, (3.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

REFERENCE COUNT:

107 THERE ARE 107 CITED REFERENCES AVAILABLE FOR

THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L11 ANSWER 3 OF 16 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:290212 CAPLUS

DOCUMENT NUMBER: 134:281023

TITLE: Sterol derivatives, synthetic method and its

application

INVENTOR(S): Wen, Jianxun; Shen, Yuehai

PATENT ASSIGNEE(S): Shanghai Inst. of Organic Chemistry, Chinese Academy

of Sciences, Peop. Rep. China

SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 41 pp.

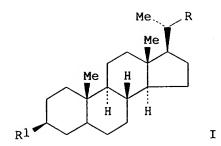
CODEN: CNXXEV

DOCUMENT TYPE: Patent

LANGUAGE: Chinese FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1263104	Α	20000816	CN 1999-125751	19991224
CN 1111169	В	20030611		
PRIORITY APPLN. INFO.:		•	CN 1999-125751 .	19991224
OTHER SOURCE(S):	CASRE	ACT 134:28102	23; MARPAT 134:281023	
GI ·				



II

The sterol derivs. with formula I (C5-C6 either double bond or single ΑB bond; R = O, 5-methylpentyl, CH2CH2CnF2n+1, CH2(CH2)mH, 3-phenylpropyl, vinyl, or phenylvinyl; R1 = OH, 4-Fp-benzoyloxy, Fp-phenoxycarbonyloxy, Fp- phenylaminocarbonyloxy, (Fp-phenyl)acryloyloxy, Fp-4-H(CH2) nbenzoyloxy, 4-H(CH2) nObenzoyloxy, 4- [CF3(CF2)q(CH2)2OC] phenylamino or 4- [CF3(CF2)q(CH2)2OC]phenoxycarbonyloxy, Fp-benzoyloxy, or CH3(CH2)jCO2; n = 1-10; m = 1-4; p = 1-4; q = 1-8; and j = 0-10) were claimed. Compds. I were synthesized by **esterification** of sterol II with carboxylic acid having formula R'OOC in the presence of dehydrant DCC, and catalyst 4-N, N-dimethylaminopyridine (DMAP) in org. solvent at (-10)-50.degree. for 5-48 h or with R'COCl in the presence of org. amine in org. solvent at (-10)-50.degree. for 0.5-24 h. Thus, pregnenolone, mixed with 3,4-difluorobenzoic acid, DCC, DMAP in THF, stirred at room temp. for 1-2 days, after routine treatment, gave the product pregnenolone 3,4-difluorobenzoate with 73.9% yield. The sterol derivs. were used as liq. crystal material.

IT 332423-07-1P

RL: SPN (Synthetic preparation); PREP (Preparation) (sterol derivs., synthetic method and its application)

RN 332423-07-1 CAPLUS

CN Cholestan-3-ol, (2E)-3-[4-(trifluoromethyl)phenyl]-2-propenoate, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

L11 ANSWER 4 OF 16 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2001:91543 CAPLUS

DOCUMENT NUMBER:

INVENTOR(S):

134:131709

TITLE:

SOURCE:

Method for producing sterol and stanol-esters Roden, Allan; Williams, James L.; Bruce, Ruey;

Detrano, Frank; Boyer, Marie H.; Higgins, John D., III

PATENT ASSIGNEE(S):

McNeil-PPC, Inc., USA U.S., 7 pp., Cont.-in-part of U.S. Ser. No. 211,978.

CODEN: USXXAM

DOCUMENT TYPE:

LANGUAGE:

Patent' English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KINE	DATE	APPLICATION NO.	DATE
US 6184397	B1	20010206	US 1999-336773	19990621
US 5892068	Α	19990406	US 1998-139460	19980825
US 6147236	Α	20001114	US 1998-211978	19981215
IN 186960 .	Α	20011222	IN 1999-CA697	19990809
NZ 337240	Α	20000228	NZ 1999-337240	19990813
AU 9944505	A 1	20000309	AU 1999-44505	19990816
AU 767636	B2	20031120		
JP 2000072793	A2	20000307	JP 1999-235542	19990823
EP 982316	A2	20000301	EP 1999-306718	19990824
EP 982316	A 3	20000705	•	
R: AT, BE, CH,	DE,	DK, ES, FR,	GB, GR, IT, LI, LU, N	IL, SE, MC, PT,
IE, SI, LT,	LV,	FI, RO		
KR 2000017479	Α	20000325	KR 1999-35134	19990824
MX 9907839	Α	20000930	MX 1999-7839	19990824
RU 2230750	C2	20040620	RU 1999-118509	19990824
CN 1251837	Α	20000503	CN 1999-121644	19990825
CN 1131871	В	20031224		•
BR 9903832	Α	20000919	BR 1999-3832	19990825
PRIORITY APPLN. INFO.:			US 1998-139460	A2 19980825
			US 1998-211978	A2 19981215
			US 1999-336773	A 19990621
OBURD COURCE/C).	CACI	DDACT 104.101	1700. MADDAT 131.12170	10

OTHER SOURCE(S):

CASREACT 134:131709; MARPAT 134:131709

GΙ

$$\begin{array}{c} & & \\ & \\ & \\ \\ & \\ \\ \end{array}$$

AB The present invention provides a method for the direct esterification of stanols and sterols with catalyst, which can be acidic or basic, in the presence of a color deactivating agent to form stanol/sterol-esters I (R1 = alkyl fatty acid side chain; R2 = alkyl steroidal side chain). The method provides a synthetic route that is amenable to large scale prodn. of the stanol-esters in high yields and employs a food grade process free of org. solvents or mineral acids. Thus, .beta.-sitostanol stearate was prepd. by NaHSO4 catalyzed esterification of .beta.-sitostanol and stearic acid.

IT 2078-50-4P, Cholestanol oleate 42493-62-9P,

.beta.-Sitostanol palmitate 108515-19-1P, .beta.-Sitostanol oleate 108590-63-2P, .beta.-Sitostanol stearate
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(method for producing sterol and stanol-esters)

RN 2078-50-4 CAPLUS

CN Cholestan-3-ol, (9Z)-9-octadecenoate, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

PAGE 1-B

CHMe2

RN 42493-62-9 CAPLUS

CN Stigmastan-3-ol, hexadecanoate, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 108515-19-1 CAPLUS

CN Stigmastan-3-ol, (9Z)-9-octadecenoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 108590-63-2 CAPLUS

CN Stigmastan-3-ol, octadecanoate, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 5 OF 16 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2000:862656 CAPLUS

DOCUMENT NUMBER: 134:237037

TITLE: Ceric ammonium nitrate (CAN)-a useful catalyst

for the rapid and high-yield **esterification** of carboxylic acids and alcohols with special reference to steroid and other multi-functional

natural products

AUTHOR(S): Goswami, Papori; Chowdhury, Pritish

CORPORATE SOURCE: Organic Chemistry Division (Natural Products),

Regional Research Laboratory, Jorhat, 785 006, India

SOURCE: New Journal of Chemistry (2000), 24(12), 955-957

CODEN: NJCHE5; ISSN: 1144-0546

PUBLISHER: Royal Society of Chemistry

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 134:237037

AB Ceric ammonium nitrate was found to be an efficient catalyst for the rapid esterification of carboxylic acids with primary and

secondary alcs. Under similar conditions, tertiary alcs. and arom. acids

were not esterified. 1255-88-5P 57674-67-6P 59000-59-8P

122241-81-0P

IT

RL: SPN (Synthetic preparation); PREP (Preparation)

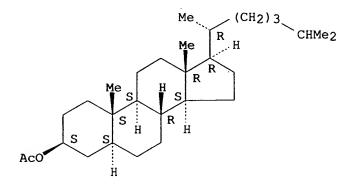
(prepn. of esters by cerium ammonium nitrate-catalyzed

esterification of carboxylic acids with primary and secondary
alcs.)

RN 1255-88-5 CAPLUS

CN Cholestan-3-ol, acetate, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 57674-67-6 CAPLUS

CN Cholestan-3-ol, propanoate, (3.beta., 5.alpha.) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 59000-59-8 CAPLUS

CN Cholestan-3-ol, butanoate, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 122241-81-0 CAPLUS

CN Cholestan-3-ol, trichloroacetate, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 6 OF 16 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: DOCUMENT NUMBER:

2000:781554 CAPLUS 134:86422

TITLE:

Fatty acid steryl, stanyl, and steroid esters by esterification and transesterification in vacuo using Candida rugosa lipase as catalyst

Weber, Nikolaus; Weitkamp, Petra; Mukherjee, Kumar D. AUTHOR(S): Institute for Biochemistry and Technology of Lipids H.

CORPORATE SOURCE:

P. Kaufmann-Institute, Federal Centre for Cereal Potato and Lipid Research, Muenster, D-48147, Germany

Journal of Agricultural and Food Chemistry (2001), SOURCE:

49(1), 67-71

CODEN: JAFCAU; ISSN: 0021-8561

American Chemical Society PUBLISHER:

DOCUMENT TYPE: Journal English LANGUAGE:

CASREACT 134:86422 OTHER SOURCE(S):

Sterols (sitosterol, cholesterol, stigmasterol, ergosterol, and 7-dehydrocholesterol) and sitostanol were converted in high to near-quant. yields to the corresponding long-chain acyl esters via esterification with fatty acids or transesterification with Me esters of fatty acids or triacylglycerols using lipase from Candida rugosa as biocatalyst in vacuo (20-40 mbar) at 40.degree.. Neither org. solvent nor water is added in these reactions. Under similar conditions, cholesterol was converted to cholesteryl butyrate and steroids (5.alpha.-pregnan-3.beta.-ol-20-one or 5-pregnen-3.beta.-ol-20-one) were converted to their propionic acid esters, both in moderate to high yields, via transesterification with tributyrin and tripropionin, resp. Reaction parameters studied in esterification include the temp. and the molar ratio of the substrates as well as the amt. and reuse properties of the C. rugosa lipase. Lipases from porcine pancreas, Rhizopus arrhizus, and Chromobacterium viscosum are quite ineffective as biocatalysts for the esterification of cholesterol with oleic acid under the above conditions.

108517-13-1P, .beta.-Sitostanol myristate IT

RL: BPN (Biosynthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. of fatty acid steroid esters by enzymic esterification and transesterification with lipase)

RN 108517-13-1 CAPLUS

Stigmastan-3-ol, tetradecanoate, (3.beta.,5.alpha.)- (9CI) CN NAME)

Absolute stereochemistry.

108515-19-1P, .beta.-Sitostanol oleate

RL: BPN (Biosynthetic preparation); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PREP (Preparation); PROC (Process)

(prepn. of fatty acid steroid esters by enzymic esterification and transesterification with lipase)

RN 108515-19-1 CAPLUS

Stigmastan-3-ol, (92)-9-octadecenoate (9CI) (CA INDEX NAME) CN

Absolute stereochemistry. Double bond geometry as shown.

THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: 17 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 7 OF 16 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2000:144573 CAPLUS

DOCUMENT NUMBER:

132:166391

TITLE:

Preparation of sterol and stanol esters

INVENTOR(S):

Roden, Allan; Williams, James L.; Bruce, Ruey;

Detraino, Frank; Boyer, Marie H.; Higgins, John D.,

III

PATENT ASSIGNEE(S):

McNeil-PPC, Inc., USA

SOURCE:

Eur. Pat. Appl., 11 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
EP 982316	A2	20000301	EP 1999-306718	19990824		
EP 982316	A3	20000705				
R: AT, BE, CH,	DE, DK	, ES, FR, GB	, GR, IT, LI, LU, NL	, SE, MC, PT,		
IE, SI, LT,	LV, FI	, RO				
US 5892068	A	19990406	US 1998-139460	19980825		
US 6147236	A	20001114	US 1998-211978	19981215		
US 6184397	В1	20010206	US 1999-336773	19990621		
PRIORITY APPLN. INFO.:			US 1998-139460	A 19980825		
			US 1998-211978	A 19981215		
			US 1999-336773	A 19990621		
OTHER SOURCE(S):	CASREA	ст 132:16639	1: MARPAT 132:166391			

GI

AB Sterol and stanol esters I [R1 = alkyl fatty acid chain; R2 = alkyl steroidal side chain] were prepd. by direct esterification of stanols and sterols with catalyst, which can be acidic or basic, in the presence of a color deactivating agent. The method provides a synthetic route that is amenable to large scale prodn. of the esters in high yields and employs a food grade process free of org. solvents or mineral acids. Thus .beta.-sitostanol stearate was prepd. by NaHSO4 catalyzed esterification of .beta.-sitostanol and stearic acid.

IT 2078-50-4P, Cholestanol oleate 42493-62-9P,
 .beta.-Sitostanol palmitate 108515-19-1P, .beta.-Sitostanol
 oleate 108590-63-2P, .beta.-Sitostanol stearate
 RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP
 (Preparation)
 (prepn. of sterol and stanol-esters)

RN 2078-50-4 CAPLUS

CN Cholestan-3-ol, (9Z)-9-octadecenoate, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

PAGE 1-B

─CHMe2

RN 42493-62-9 CAPLUS CN Stigmastan-3-ol, hexadecanoate, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 108515-19-1 CAPLUS CN Stigmastan-3-ol, (9Z)-9-octadecenoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 108590-63-2 CAPLUS CN Stigmastan-3-ol, octadecanoate, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 2000:144572 CAPLUS

10/678,135

132:166390 DOCUMENT NUMBER:

Preparation of sterol and stanol esters TITLE:

Higgins, John D. INVENTOR(S):

McNeil-PPC, Inc., USA PATENT ASSIGNEE(S): Eur. Pat. Appl., 10 pp. SOURCE:

CODEN: EPXXDW

DOCUMENT TYPE: LANGUAGE:

Patent English

Ι

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE			
EP 982315	A2	19990122					
EP 982315	A3	20010926					
R: AT, BE, CH,	DE, DK	, ES, FR, GB	, GR, IT, LI, LU, NL,	, SE, MC, PT,			
IE, SI, LT,	LV, FI	, RO					
US 5892068	Α	19990406	US 1998-139460	19980825			
US 6147236	Α	20001114	US 1998-211978	19981215			
PRIORITY APPLN. INFO.:			US 1998-139460	A 19980825			
			US 1998-211978	A 19981215			
OTHER SOURCE(S):	CASREA	CT 132:16639	0: MARPAT 132:166390				

OTHER SOURCE(S):

GΙ

Sterol and stanol esters I [R1 = alkyl fatty acid chain; R2 = alkyl AB steroidal side chain] were prepd. by direct esterification of stanols and sterols with catalyst, which can be acidic or basic, in the presence of a color deactivating agent. The method provides a synthetic route that is amenable to large scale prodn. of the esters in high yields and employs a food grade process free of org. solvents or mineral acids. Thus .beta.-sitostanol stearate was prepd. by NaHSO4 catalyzed esterification of .beta.-sitostanol and stearic acid.

2078-50-4P, Cholestanol oleate 42493-62-9P, IT .beta.-Sitostanol palmitate 108515-19-1P, .beta.-Sitostanol oleate 108590-63-2P, .beta.-Sitostanol stearate RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation) (prepn. of sterol and stanol esters)

RN 2078-50-4 CAPLUS

CN Cholestan-3-ol, (9Z)-9-octadecenoate, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

PAGE 1-B

CHMe2

RN 42493-62-9 CAPLUS

CN Stigmastan-3-ol, hexadecanoate, (3.beta., 5.alpha.) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 108515-19-1 CAPLUS

CN Stigmastan-3-ol, (9Z)-9-octadecenoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 108590-63-2 CAPLUS

Stigmastan-3-ol, octadecanoate, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

L11 ANSWER 9 OF 16 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

1999:227957 CAPLUS

DOCUMENT NUMBER:

130:252534

TITLE:

Preparation of sterol and stanol-esters

INVENTOR(S): PATENT ASSIGNEE(S): Higgins, John D., III McNeil-PPC, Inc., USA

SOURCE:

U.S., 4 pp.

DOCUMENT TYPE:

CODEN: USXXAM

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	TENT NO.	KIND	DATE	APPLICATION NO.	DATE
US	5892068	A	19990406	US 1998-139460	19980825
	6147236	A	20001114	US 1998-211978	19981215
ΑU	9913166	A1	20000309	AU 1999-13166	19990119
ΑU	764572	B2	20030821		
ZA	9900368	Α	20000719	ZA 1999-368	19990119
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CN	1245810	Α	20000301	CN 1999-100882	19990120
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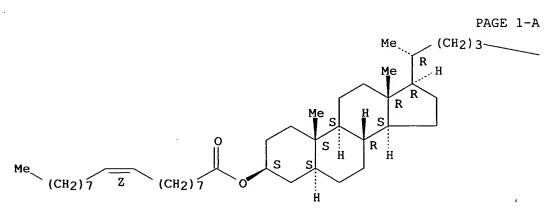
RN 2078-50-4 CAPLUS CN

(Preparation)

Cholestan-3-ol, (9Z)-9-octadecenoate, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP

Absolute stereochemistry. Double bond geometry as shown.



oleate 108590-63-2P, .beta.-Sitostanol stearate

(prepn. of sterol and stanol fatty acid esters)

PAGE 1-B

CHMe2

RN 42493-62-9 CAPLUS

CN Stigmastan-3-ol, hexadecanoate, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 108515-19-1 CAPLUS

CN Stigmastan-3-ol, (9Z)-9-octadecenoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

Me (CH2)
$$\frac{R}{Z}$$
 (CH2) $\frac{R}{Z}$ (CH2) $\frac{R$

RN 108590-63-2 CAPLUS

CN Stigmastan-3-ol, octadecanoate, (3.beta.,5.al/pha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 10 OF 16 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

1995:974016 CAPLUS

DOCUMENT NUMBER:

124:144931

TITLE:

Preparation of carboxylic acid esters

INVENTOR(S):

Mukoyama, Mitsuaki; Shiina, Isamu; Myoshi, Satoshi;

Myashita, Mitsutomo

PATENT ASSIGNEE(S):

Kyorin Seiyaku Kk, Japan Jpn. Kokai Tokkyo Koho, 6 pp.

SOURCE:

CODEN: JKXXAF

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	JP 07247241	A2	19950926	JP 1994-39668	19940310
	JP 3279801	B2	20020430		
PRIC	RITY APPLN. INFO.:		•	JP 1994-39668	19940310
OTHE	R SOURCE(S):	CASREA	CT 124:14493	31; MARPAT 124:144931	
AB	R1CO2R2 [R1-2 = (ur)]	n) substi	tuted alkyl,	<pre>(un)substituted aryl]</pre>	are prepd. by
				ence of (R3CO)20 [I; R3	
	(un) substituted ary	/l], R4n	six4-n (R4 =	= lower alkyl; X halo; ı	n = 1-3), and
		70		-0104 Bi014 3 M-20	201 2- 0000010

treating R1CO2H with R2OH in the presence of (R3CO)2O [I; R3 = (un)substituted aryl], R4nSiX4-n (R4 = lower alkyl; X halo; n = 1-3), and cationic catalysts. A suspension of AgClO4, TiCl4, and Me3SiCl in CH2Cl2 was mixed with a soln. of 3-phenylpropionic acid and I (R3 = 4-CF3C6H4) in CH2Cl2, then treated with a soln. of 1-methyl-3-phenylpropanol in CH2Cl2 at room temp. for 3 h to give 99% 1-methyl-3-phenylpropyl 3-phenylpropionate.

IT 14914-98-8P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(prepn. of carboxylic acid esters from carboxylic acids and alcs. using cationic catalysts and fluorobenzoic anhydrides and haloalkylsilane)

RN 14914-98-8 CAPLUS

CN Cholestan-3-ol, benzenepropanoate, (3.beta.) - (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

L11 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1994:434946 CAPLUS

DOCUMENT NUMBER: 121:34946

TITLE: A useful method for the preparation of carboxylic

esters from free carboxylic acids and alcohols AUTHOR(S): Shiina, Isamu; Miyoshi, So; Miyashita, Mitsutomo;

Mukaiyama, Teruaki

CORPORATE SOURCE: Fac. Sci., Sci. Univ. Tokyo, Tokyo, 162, Japan

SOURCE: Chemistry Letters (1994), (3), 515-18

CODEN: CMLTAG; ISSN: 0366-7022
DOCUMENT TYPE: Journal

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 121:34946

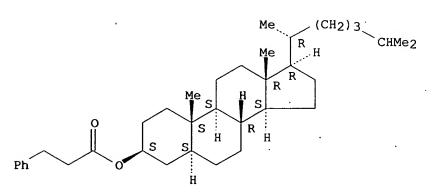
AB Various carboxylic esters, e.g., PhCH2CH2CO2CH2Ph, are prepd. in excellent yields from nearly equimolar amts. of free carboxylic acids and alcs. at room temp. by combined use of 4-(trifluoromethyl)benzoic anhydride and a catalytic amt. of active Ti(IV) salt together with chlorotrimethylsilane.

IT 14914-98-8P

RN 14914-98-8 CAPLUS

CN Cholestan-3-ol, benzenepropanoate, (3.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L11 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1994:7863 CAPLUS

DOCUMENT NUMBER: 120:7863

TITLE: A new and efficient esterification reaction

via mixed anhydrides by the promotion of a catalytic

amount of Lewis acid

AUTHOR(S): Miyashita, Mitsutomo; Shiina, Isamu; Miyoshi, So;

10/678,135

Mukaiyama, Teruaki

CORPORATE SOURCE:

Fac. Sci., Sci. Univ. Tokyo, Tokyo, 162, Japan Bulletin of the Chemical Society of Japan (1993),

66(5), 1516-27

CODEN: BCSJA8; ISSN: 0009-2673

DOCUMENT TYPE:

Journal

LANGUAGE:

SOURCE:

English

OTHER SOURCE(S):

CASREACT 120:7863

AB In the presence of a catalytic amt. of Lewis acid, various carboxylic esters or S-Ph carbothioates are prepd. in excellent yields by the resp. reactions of equimolar amts. of silyl carboxylates and alkyl silyl ethers or Ph silyl sulfides with 4-trifluoromethylbenzoic anhydride.

IT 105185-25-9P 150272-57-4P

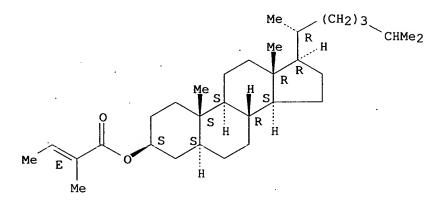
RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of)

RN 105185-25-9 CAPLUS

CN Cholestan-3-ol, 2-methyl-2-butenoate, [3.beta.(E),5.alpha.]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

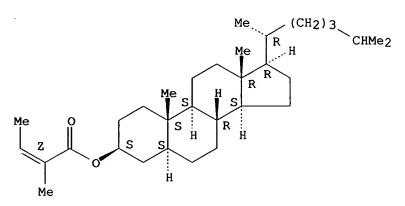


RN 150272-57-4 CAPLUS

CN Cholestan-3-ol, 2-methyl-2-butenoate, [3.beta.(Z),5.alpha.]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



L11 ANSWER 13 OF 16 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

1992:214772 CAPLUS

DOCUMENT NUMBER:

116:214772

10/678,135

TITLE: Synthesis of D-glucopyranosyl cholestan-3.beta.-yl

glutamate derivatives

AUTHOR(S):

Takano, Etsu

CORPORATE SOURCE: SOURCE:

Fac. Hyg., Kitasato Univ., Sagamihara, 228, Japan Chemical & Pharmaceutical Bulletin (1992), 40(2),

Ι

II

509-12

CODEN: CPBTAL; ISSN: 0009-2363

DOCUMENT TYPE:

Journal

LANGUAGE:

English

GI

AB Preferential formation of 1-(cholestan-3.beta.-yl)-N-CBZ-L-glutamate I (CBZ = benzyloxycarbonyl) or 5-(cholestan-3.beta.-yl)-N-CBZ-L-glutamate II were obtained when dicyclohexylamine or 4-(dimethylamino)pyridine was used as a basic catalyst for ester formation. Each glutamate was converted to an anomeric mixt. of glucose derivs. using 2,3,4,6-tetra-O-benzyl-.alpha.-D-glucopyranose. After chromatog. sepn. of these isomers, their structures were detd. by field desorption mass and NMR spectrometries.

IT 141103-74-4P 141103-75-5P 141103-76-6P 141196-31-8P 141196-32-9P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (prepn. and NMR of)

RN 141103-74-4 CAPLUS

PAGE 1-A

PAGE 1-B

RN 141103-75-5 CAPLUS

CN L-Glutamic acid, N-[(phenylmethoxy)carbonyl]-, 1-[(3.beta.,5.alpha.)-cholestan-3-yl] 5-[2,3,4,6-tetrakis-O-(phenylmethyl)-.alpha.-L-glucopyranosyl] ester (9CI) (CA INDEX NAME)

PAGE 1-B

RN 141103-76-6 CAPLUS

CN L-Glutamic acid, N-[(phenylmethoxy)carbonyl]-, 5-[(3.beta.,5.alpha.)-cholestan-3-yl] 1-[2,3,4,6-tetrakis-O-(phenylmethyl)-.alpha.-L-glucopyranosyl] ester (9CI) (CA INDEX NAME)

PAGE 1-B

- (CH₂)₃-CHMe₂

RN 141196-31-8 CAPLUS

CN L-Glutamic acid, N-[(phenylmethoxy)carbonyl]-, 1-[(3.beta.,5.alpha.)-cholestan-3-yl] 5-[2,3,4,6-tetrakis-O-(phenylmethyl)-.beta.-D-glucopyranosyl] ester (9CI) (CA INDEX NAME)

- (CH₂)₃- CHMe₂

RN 141196-32-9 CAPLUS

CN L-Glutamic acid, N-[(phenylmethoxy)carbonyl]-, 5-[(3.beta.,5.alpha.)-cholestan-3-yl] 1-[2,3,4,6-tetrakis-O-(phenylmethyl)-.beta.-D-glucopyranosyl] ester (9CI) (CA INDEX NAME)

PAGE 1-B

- (CH₂)₃- CHMe₂

IT 141103-72-2P 141103-73-3P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. and sequential conversion to acid chloride and coupling reaction of, with tetrabenzylglucopyranose)

RN 141103-72-2 CAPLUS

CN L-Glutamic acid, N-[(phenylmethoxy)carbonyl]-, 1-[(3.beta.,5.alpha.)-cholestan-3-yl] ester (9CI) (CA INDEX NAME)

RN 141103-73-3 CAPLUS

L-Glutamic acid, N-[(phenylmethoxy)carbonyl]-, 5-[(3.beta.,5.alpha.)-CN cholestan-3-yl] ester (9CI) (CA INDEX NAME)

L11 ANSWER 14 OF 16 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

1988:204886 CAPLUS

DOCUMENT NUMBER:

108:204886

TITLE:

An enzymatic process for preparing fatty acid esters

of sterols and branched aliphatic alcohols

INVENTOR(S):

Myojo, Katsunori; Matsufune, Youichi; Yoshikawa, Shiro

Yoshikawa Oil and Fat Co., Ltd., Japan PATENT ASSIGNEE(S):

SOURCE:

Eur. Pat. Appl., 146 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	PATENT NO.				KIND DATE			PLICATION NO.	DATE	
EP EP	195311 195311 195311 195311			A2 A3 B1 B2	•	19860924 19871028 19900627 19960117	EP	1986-102861		19860305
	R: DE, 61204197 05033712	FR,	GB,	IT, A2 B4	NL	19860910 19930520	JP	1985-45128		19850306
JP JP	62048391 06095950			A2 B4		19870303 19941130		1985-190543		19850829
JP	62166895 2554469 555633			A2 B2 A1		19870723 19961113 19870701		1986-7732 1986-555633		19860116 19860520
CH	667284 5219733			A A		19880930 19930615	СН	1986-2181 1990-563895		19860529 19900807
PRIORITY	Y APPLN.	INFO	.:				JP JP	1985-45128 1985-190543 1986-7732 1986-836362	A A A B1	19850306 19850829 19860116 19860305

AB The title esters are prepd. by enzymic esterification of sterols or C14-32 branched aliph. primary or secondary alcs. with fatty acids or esters. The enzyme (lipase or cholesterol esterase) may be immobilized, and the solvent may be aq. or aq. org. A mixt. of 100 mg cholesterol, 220 mg oleic acid, 2.0 mL H2O, and 0.5 mL aq. lipase (500 IU) was stirred for 18 h to give cholesteryl oleate with a synthesis ratio of 98.2%. Numerous variations of reactants, catalysts, solvents, etc., were explored.

IT 59000-66-7P, .beta.-Cholestanyl stearate

RL: PREP (Preparation)

(prepn. of, by enzymic esterification)

RN 59000-66-7 CAPLUS CN Cholestan-3-ol, octadecanoate, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 2078-50-4P, .beta.-Cholestanyl oleate

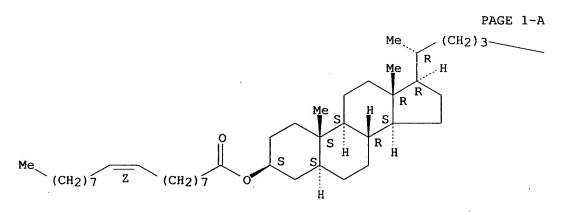
RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, by enzymic esterification)

RN 2078-50-4 CAPLUS

CN Cholestan-3-ol, (9Z)-9-octadecenoate, (3.beta.,5.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



PAGE 1-B

CHMe2

L11 ANSWER 15 OF 16 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1987:459322 CAPLUS

DOCUMENT NUMBER: 107:59322

TITLE: Perfluoroalkyl esters of sterols and bile acids

AUTHOR(S): Malik, A. A.; Sharts, C. M.

CORPORATE SOURCE: Chem. Dep., San Diego State Univ., San Diego, CA,

92182, USA

SOURCE: Journal of Fluorine Chemistry (1987), 34(3-4), 395-408

CODEN: JFLCAR; ISSN: 0022-1139

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 107:59322

AB Mono-, bis-, and tris(perfluorooctanoyl)oxy derivs. of sterols and bile acids were prepd. In the prepn. of tris(perfluorooctanoyloxy) steroids, 4-(dimethylamino)pyridine (DMAP) was the catalyst. Without DMAP the HO at C-12 did not react. The products are intended for testing as coemulsifying agents for synthetic blood formulations.

IT 109481-61-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 109481-61-0 CAPLUS

Absolute stereochemistry.

L11 ANSWER 16 OF 16 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1980:639294 CAPLUS

DOCUMENT NUMBER: 93:239294

TITLE: Utilization of derivatives of thiazolidine-2-thione:

esterification

AUTHOR(S): Nagao, Yoshimitsu; Hayashi, Michiko; Fujita, Eiichi

CORPORATE SOURCE: Inst. Chem. Res., Kyoto Univ., Uji, 611, Japan

SOURCE: Chemical & Pharmaceutical Bulletin (1980), 28(4),

1245-50

CODEN: CPBTAL; ISSN: 0009-2363

DOCUMENT TYPE: Journal LANGUAGE: English

AB Esterification of acid chlorides by alcs. in the presence of the thallium (I) salt of thiazolidine-2-thione showed that the salt is probably both a hydrogen and chloride acceptor for reactive alcs., whereas the thiazolidinethione likely acts as an HCl acceptor for less reactive alcs. Use of the thallium salt and excess acid chloride in hot benzene gave good yields rapidly.

IT 75594-78-4P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of, in presence of thiazolidinethione thallium salt)

RN 75594-78-4 CAPLUS

CN Lanostan-3-ol, decanoate, (3.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

=> d ibib abs hitstr

AUTHOR(S):

L20 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1989:110646 CAPLUS

DOCUMENT NUMBER: 110:110646

TITLE: Inhibitors of sterol synthesis. Oleate ester of

5.alpha.-cholest-8(14)-en-3.beta.-ol-15-one as a substrate for pancreatic cholesterol esterase Stephens, Thomas W.; Schroepfer, George J., Jr.

CORPORATE SOURCE: Dep. Biochem., Rice Univ., Houston, TX, USA

SOURCE: Biochimica et Biophysica Acta (1988), 963(3), 395-400

CODEN: BBACAQ; ISSN: 0006-3002

DOCUMENT TYPE: Journal LANGUAGE: English

AB 5.alpha.-Cholest-8(14)-en-3.beta.-ol-15-one oleate (15-ketosteryl oleate), the oleate ester of a compd. with the capacity to lower serum cholesterol, was effectively hydrolyzed by partially purified porcine pancreatic cholesterol esterase with an apparent Km of 0.28 mM and a Vmax of 0.62 .mu.mol/min/mg protein compared to an apparent Km of 0.19 mM and a Vmax of 0.37 .mu.mol/min/mg protein for cholesteryl oleate. The 15-ketosteryl oleate was also hydrolyzed by highly purified rat pancreatic cholesterol esterase with an apparent Km of 0.20 mM and a Vmax of 86.7 .mu.mol/min/mg protein compared to an apparent Km of 0.43 mM and a Vmax of 119.8 .mu.mol/min/mg protein for cholesteryl oleate. 15-Ketosteryl oleate is, therefore, a good substrate for pancreatic cholesterol esterase from either source. The 15-ketosterol is a weak competitive inhibitor of partially purified porcine pancreatic cholesterol esterase when cholesteryl oleate is the substrate.

IT 119259-98-2P

RN 119259-98-2 CAPLUS

CN Cholestan-3-ol, 9-octadecenoate-1-14C, [3.beta.(Z)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-B

CHMe2

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             16 S L7 AND L9 AND L10
L11
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